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(71) Applicant (for all designated States except AT, US): NO-  
VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel  
(CH).

(71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN  
VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT];  
Brunnerstrasse 59, A-1230 Vienna (AT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CULSHAW, An-  
drew, James [GB/GB]; Novartis Institute for Medical  
Sciences, 5 Gower Place, London WC1E 6BN (GB).  
GULL, Peter [CH/CH]; Moosackerweg 3, CH-4148  
Pfeffingen (CH). HALLETT, Allan [GB/GB]; Novartis  
Institute for Medical Sciences, 5 Gower Place, London  
WC1E 6BN (GB). KIM, Hong-Yong [KR/US]; 2104  
Harvest Court, Whippany, NJ 07981 (US). SEILER, Max,

Peter [CH/CH]; Bockrainweg 16, CH-4125 Riehen (CH).  
ZIMMERMANN, Kaspar [CH/CH]; Am Chatzebach 4,  
CH-4104 Oberwil BL (CH). LIU, Yuguang [CN/US]; 28B  
Red Oak Lane, Old Bridge, NJ 08857 (US). PRASHAD,  
Mahavir [US/US]; 27 Davenport Road, Montville, NJ  
07045 (US).

(74) Agent: BECKER, Konrad; Novartis AG, Corporate  
Intellectual Property, Patent & Trademark Department,  
CH-4002 Basel (CH).

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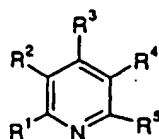
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(54) Title: FUSED PYRIDINE DERIVATIVES FOR USE AS VANILLOID RECEPTOR ANTAGONISTS FOR TREATING PAIN.



(I)

(57) Abstract: The invention provides compounds of formula (I) wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are as defined in the description, and the preparation thereof. The compounds of formula I are functional blockers of the human vanilloid receptor 1 (hVR1) and are useful as pharmaceuticals; in particular for treating pain.

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## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 02/03332

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BAE J W ET AL: "Synthesis of pyrido'2,3-d!pyrimidines via palladium-catalyzed reaction of iodouracil with acetylenes" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 41, no. 31, 29 July 2000 (2000-07-29), pages 5899-5902, XP004209584 ISSN: 0040-4039 table 1	1
X	ROBINS R K ET AL: "STUDIES ON CONDENSED PYRIMIDINE SYSTEMS. XIX. A NEW SYNTHESIS OF PYRIDO'2,3-D!PYRIMIDINES. THE CONDENSATION OF 1,3-DIKETONES AND 3-KETOALDEHYDES WITH 4-AMINOPYRIMIDINES" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, DC, US, vol. 80, no. 13, 5 July 1958 (1958-07-05), pages 3449-3457, XP002071946 ISSN: 0002-7863 tables I,II,IV,V	1
X	ROH ET AL.: "Palladium-catalyzed coupling reaction of iodouracil having acetamide moiety with olefins" SYNTH. COMM., vol. 30, no. 1, 2000, pages 81-86, XP001088853 7a-c	1
X	TRATTNER R B ET AL: "Deamination Studies on Pyrimidine and Condensed Pyrimidine Systems" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY, EASTON, US, vol. 29, September 1964 (1964-09), pages 2674-2677, XP002107725 ISSN: 0022-3263 p. 2676, right hand column, last 5 lines	1
X	US 2 749 344 A (ROBINS ROLAND K ET AL) 5 June 1956 (1956-06-05) claim 8; examples 2,3,9,14	1
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
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X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. 116291 XP002206568 abstract & TSCHITSCHIBABIN ET AL.: CHEM. BER., vol. 60, 1927, page 775 -----	1
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. 125116 XP002206569 abstract & ROBINS ET AL.: J. AM. CHEM. SOC., vol. 77, 1955, pages 2256-2259, -----	1

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## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1, 3-9, 12 (all part)

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). The documents cited in the search report are merely a selection of the novelty-destroying documents found. For these reasons, a meaningful search over the whole breadth of the claim(s) is impossible. Consequently, the search has been carried out completely for the compounds of claim 1 in which the ring system is a pyrido[2,3-d]-pyrimidine, the C atoms at the 2- and 4-positions of the pyrimidine ring are both substituted by an atom which is not C or H, R4 is CN, substituted or unsubstituted phenyl or benzyl and R5 is substituted or unsubstituted alkyl, cycloalkyl, phenyl or pyridinyl, i.e. for a generalisation of the examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.